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 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-14 \quad 10-11 \quad 11-12 \quad 12-13 \quad 13-14 \quad 15-16 \quad 15-20 \quad 15$ 16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24

exact/norm bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 6-8 \quad 8-9 \quad 9-10 \quad 9-14 \quad 10-11 \quad 11-12 \quad 12-13 \quad 12-15 \quad 13-12-13 \quad 12-15 \quad 13-12-13 \quad 12-13 \quad 12-15 \quad 13-12-13 \quad 12-13 \quad 12-15 \quad 13-12-13 \quad 12-13 \quad 12$ $14 \quad 15 - 16 \quad 15 - 20 \quad 16 - 17 \quad 17 - 18 \quad 18 - 19 \quad 18 - 21 \quad 19 - 20 \quad 19 - 24 \quad 21 - 22 \quad 22 - 23 \quad 22 - 26$ 23 - 24

normalized bonds :

26-27 26-28

G1:C, N

Match level:

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 26:CLASS 27:CLASS 28:CLASS

=> d 11

L1 HAS NO ANSWERS

L1 STR

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=> s 11

SAMPLE SEARCH INITIATED 13:31:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 200 TO 800 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 13:32:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 748 TO ITERATE

100.0% PROCESSED 748 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

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chain nodes :

8

ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24

chain bonds :

6-8 8-9 12-15

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-14 \quad 10-11 \quad 11-12 \quad 12-13 \quad 13-14 \quad 15-16 \quad 15-20$

16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24

exact/norm bonds :

G1:C,N

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:33:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1095 TO ITERATE

100.0% PROCESSED 1095 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 19915 TO 23885 PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s 14 ful

FULL SEARCH INITIATED 13:34:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 21544 TO ITERATE

100.0% PROCESSED 21544 ITERATIONS 45 ANSWERS

SEARCH TIME: 00.00.01

L6 45 SEA SSS FUL L4

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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

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=> s 16 L7 24 L6

=> d abs fbib fhitstr 1-24

L7 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

Ι

AB Fifty one quinoline-3-carboxylic acids I (R1 = c-Pr, t-Bu, 2,4-F2C6H3; R2R3N = 17 secondary amines) were synthesized from 1,3-dichloro-2-methylbenzene and evaluated for in-vitro antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2). Among the synthesized compds., I (R1 = c-Pr, R2R3N = 1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl) was found to be the most active compound in vitro with a MIC value of 0.39 μ M against MTB. Against MDR-TB, compound I (R1 = c-Pr, R2R3N = 2-carboxy-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl) was found to be the most active with a MIC value of 0.09 μ M. Generally, quinoline-3-carboxylic acids I (R1 = c-Pr) were most active and most were tested for their cytotoxicity in a mammalian Vero cell line.

AN 2009:254822 CAPLUS Full-text

DN 150:472531

TI Synthesis and in-vitro antimycobacterial evaluation of 1-(cyclopropyl/2,4-difluorophenyl/tert-butyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo-7-(substituted secondary amino)quinoline-3-carboxylic acids

AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Chandraseakaran, Yogesh; Yogeeswari, Perumal; Sriram, Dharmarajan

CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, India

SO Archiv der Pharmazie (Weinheim, Germany) (2009), 342(2), 100-112 CODEN: ARPMAS; ISSN: 0365-6233

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 150:472531

IT 1146300-42-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (amino)(oxo)quinolinecarboxylic acids and their antimycobacterial structure-activity relationships)

RN 1146300-42-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

Various 1-(substituted)-1,4-dihydro-6-nitro-4-oxo-7-(sub-secondary amino)-quinoline-3-carboxylic acids were synthesized from 2,4-dichlorobenzoic acid by six step synthesis. The compds. were evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the 48 synthesized compds., compound I was found to be the most active compound in vitro with MIC of 0.08 and 0.16 μM against MTB and MDR-TB, resp. In the in vivo animal model, compound I decreased the bacterial load in lung and spleen tissues with 2.78 and 4.15-log 10 protections, resp., at the dose of 50 mg/kg body weight

Ι

AN 2009:5914 CAPLUS Full-text

DN 150:259917

TI Synthesis and antimycobacterial activities of novel 6-nitroguinolone-3-carboxylic acids

AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; Sriram, Dharmarajan; China, Arnab; Nagaraja, Valakunja

CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, 333031, India

SO European Journal of Medicinal Chemistry (2009), 44(1), 345-358 CODEN: EJMCA5; ISSN: 0223-5234

PB Elsevier Masson SAS

DT Journal

LA English

OS CASREACT 150:259917

IT 1119087-07-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of nitroquinolinolonecarboxylic acids via nitration of dichlorobenzoic acid followed by alkylation, cyclization with amines and amination with secondary amines, and their antimycobacterial

activity)

RN 1119087-07-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

Thirty four novel 7-fluoro/nitro-1,2-dihydro-5-oxo-8-(sub)-5H-thiazolo[3,2-AΒ a]quinoline- 4-carboxylic acids were synthesized from 2,4-dichlorobenzoic acid and 2,4-dichloro-5-fluoroacetophenone by multi step reaction, evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 8-[6-[(1,1-dimethylethoxy)carbonyl]amino]-3azabicyclo[3.1.0]hex-3-yl]- 1,2-dihydro-7-nitro-5-oxo-5H-thiazolo[3,2a]quinoline-4- carboxylic acid (10q) was the most active compound in vitro with MIC of 0.08 μM and <0.08 μM against MTB and MDR-TB resp. Compound 10q was 4.5 and >570 times more potent than isoniazid against MTB and MDR-TB resp. In the in vivo animal model 10g decreased the bacterial load in lung and spleen tissues with 2.51 and 3.71-log10 protections resp. at the dose of 50mg/kg body weight

AN 2008:1027779 CAPLUS Full-text

DN 149:462071

TI Synthesis, antimycobacterial activities and phototoxic evaluation of 5H-thiazolo[3,2-a]quinoline-4-carboxylic acid derivatives

AU Dinakaran, Murugesan; Senthilkumar, Palaniappan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan

CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Medicinal Chemistry (2008), 4(5), 482-491 CODEN: MCEHAJ; ISSN: 1573-4064

PB Bentham Science Publishers Ltd.

DT Journal

LA English

OS CASREACT 149:462071

IT 1070905-93-9P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis, antimycobacterial activities and phototoxic evaluation of thiazologuinoline-carboxylic acid derivs.)

RN 1070905-93-9 CAPLUS

CN 5H-Thiazolo[3,2-a]quinoline-4-carboxylic acid, 8-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-7-fluoro-1,2-dihydro-5-oxo-(CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB On the basis of our recent findings that 6-aminoquinolones inhibit the HIV Tat-mediated transactivation, we have designed a broad series of derivs. identifying novel potent agents such as the 6-desfluoroquinolones 24 (HM12) and 27 (HM13), which showed pronounced anti-HIV activity in acutely, chronically, and latently HIV-1 infected cell cultures. We demonstrate here that highly potent mols. can be obtained by optimizing the substituent in the various positions of the quinolone nucleus.

AN 2008:1015905 CAPLUS Full-text

DN 149:346718

TI Structure-Activity Relationship Study on Anti-HIV 6-Desfluoroquinolones

AU Tabarrini, Oriana; Massari, Serena; Daelemans, Dirk; Stevens, Miguel; Manfroni, Giuseppe; Sabatini, Stefano; Balzarini, Jan; Cecchetti, Violetta; Pannecouque, Christophe; Fravolini, Arnaldo

CS Dipartimento di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy

SO Journal of Medicinal Chemistry (2008), 51(17), 5454-5458 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 149:346718

IT 1056878-77-3P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and SAR of anti-HIV 6-desfluoroquinolones)

RN 1056878-77-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-amino-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyridinyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L7 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

Various 2-(sub)-3-fluoro/nitro-5,12-dihydro-5-oxobenzothiazolo[3,2-a]quinoline-6-carboxylic acid derivs. were synthesized from 2-aminothiophenol by a five-step reaction, evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multidrug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2), and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the thirty-four synthesized compds., the most active compound (I) had MIC of 0.18 and 0.08 μM in vitro against MTB and MTR-TB, resp. Compound I was found to be 2 and 570 times more potent than isoniazid against MTB and MDR-TB, resp. In the in-vivo animal model 71 decreased the bacterial load in lung and spleen tissues with 2.78 and 3.12 - log 10 protections, resp., at the dose of 50 mg/kg body weight

AN 2008:413955 CAPLUS Full-text

DN 148:580321

- TI Antimycobacterial activities of novel 2-(sub)-3-fluoro/nitro-5,12-dihydro-5-oxobenzothiazolo[3,2-a]quinoline-6-carboxylic acid derivs.
- AU Dinakaran, Murugesan; Senthilkumar, Palaniappan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
- CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
- SO Bioorganic & Medicinal Chemistry (2008), 16(6), 3408-3418 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier Ltd.
- DT Journal

LA English

OS CASREACT 148:580321

IT 1028203-05-5P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antimycobacterial activities of novel

2-(sub)-3-fluoro/nitro-5,12-dihydro-5-oxobenzothiazolo[3,2-a]quinoline-6-carboxylic acid derivs.)

RN 1028203-05-5 CAPLUS

CN 5H-Benzothiazolo[3,2-a]quinoline-6-carboxylic acid,

2-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-3-fluoro-5-oxo- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
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Ι

L7 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

AB Several newer 6-fluoro/nitro-4-oxo-7-(sub)-4H-[1,3]thiazeto[3,2- a]quinoline-3-carboxylic acids (10-11a-q) were synthesized from 3,4-difluoro aniline and 3-fluoro-4-nitro aniline by 9-step synthesis. The compds. were evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multidrug-resistant M. tuberculosis (MDR-TB) and Mycobacterium smegmatis as well as being tested for their ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-6-nitro-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid (I) was the most active

compound in vitro, with MICs of 0.09 μ M and <0.09 μ M against MTB and MDR-TB, resp. I was 4-fold and >506-fold more potent than isoniazid against MTB and MDR-TB, resp. In the in vivo animal model, I decreased the bacterial load in lung and spleen tissues by 30% and 42%, resp., at a dose of 50 mg/kg body weight

AN 2008:366343 CAPLUS Full-text

DN 148:580312

- TI Antimycobacterial and phototoxic evaluation of novel 6-fluoro/nitro-4-oxo-7-(sub)-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid
- AU Murugesan, Dinakaran; Palaniappan, Senthilkumar; Perumal, Yogeeswari; Arnab, China; Valakunja, Nagaraja; Sriram, Dharmarajan
- CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science (BITS), Pilani, 333031, India
- SO International Journal of Antimicrobial Agents (2008), 31(4), 337-344 CODEN: IAAGEA; ISSN: 0924-8579
- PB Elsevier B.V.
- DT Journal
- LA English
- IT 1027327-22-5P

RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(antimycobacterial and phototoxic evaluation of novel 6-fluoro/nitro-4-oxo-7-(sub)-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids)

RN 1027327-22-5 CAPLUS

CN 1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-6-fluoro-4-oxo- (CA INDEX NAME)

L7 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Thirty-four newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids were synthesized from 1,2,3,4-tetrafluoro benzene and evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant M. tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase. Among the synthesized compds., 7-(1-(4-methoxybenzyl)-3,4,5,6,7,8-hexahydroisoquinolin-2(1H)-yl)-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-5-nitro-4-oxoquinoline-3- carboxylic acid (13n) was found to

be the most active compound in vitro with MIC of 0.16 and 0.33 μM against MTB and MDR-TB, resp. In the in vivo animal model 13n decreased the bacterial load in lung and spleen tissues with 2.54 and 2.92 - log10 protections, resp., at the dose of 50 mg/kg body weight Compound 13n also inhibited the supercoiling activity of mycobacterial DNA gyrase with IC50 of 30.0 $\mu\text{g/mL}$.

AN 2008:339599 CAPLUS Full-text

DN 148:444657

- TI Synthesis and antimycobacterial evaluation of newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids
- AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Banerjee, Debjani; Devakaram, Ruth Vandana; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
- CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
- SO Bioorganic & Medicinal Chemistry (2008), 16(5), 2558-2569 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:444657
- IT 1018937-82-0P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of 1-cyclopropyl-1, 4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids)

RN 1018937-82-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L7 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

AB Thirty derivs. of 7-oxo-7H-[1,4]oxazino[2,3,4-ij]quinoline-6-carboxylic acids were synthesized from 2,3,4,5-tetrafluoro benzoic acid and evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from mycobacteria. Among them, compound I was found to be the most active compound in vitro with MIC99 of 0.19 μM and 0.09 μM against MTB and MTR-TB, resp. In the in vivo animal model also the same compound decreased the bacterial load in lung and spleen tissues with 1.91 and 2.91 - log 10 protections, resp., at the dose of 50 mg/kg body weight Compound II was found to be the most active in the inhibition of the supercoiling activity of DNA gyrase with an IC50 of 10.0 μg/mL. The results demonstrate the potential and importance of developing new oxazino quinolone derivs. against mycobacterial infections.

TT

AN 2008:151718 CAPLUS Full-text

DN 148:355718

TI Novel ofloxacin derivatives: Synthesis, antimycobacterial and toxicological evaluation

AU Dinakaran, Murugesan; Senthilkumar, Palaniappan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan

CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Bioorganic & Medicinal Chemistry Letters (2008), 18(3), 1229-1236 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 148:355718

IT 1012310-46-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of oxazinoquinolinecarboxylic acids starting from tetrafluorobenzoic acid using heterocyclization and amination with secondary amines as key steps, and their antibacterial activity as DNA gyrase inhibitor and toxicity)

RN 1012310-46-1 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 10-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-9-fluoro-2,3-dihydro-3-methyl-7-oxo-, ethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Fifty-one 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids were synthesized and evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug-resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 1-tert-butyl-1,4-dihydro-7-(4,4-dimethyloxazolidin-3-yl)-6-nitro-4-oxo-1,8- naphthyridine-3-carboxylic acid (10q) is the most active compound in vitro with an MIC of 0.1 μM against MTB and MDR-TB and was 3 and 455 times more potent than isoniazid against MTB and MDR-TB, resp. In the in vivo animal model 10q decreased the bacterial load in lung and spleen tissues with 2.39 and 3.89-log10protections, resp., at the dose of 50 mg/kg body weight

AN 2007:1215588 CAPLUS Full-text

DN 148:78912

TI Antimycobacterial Activities of Novel
1-(Cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7(substituted secondary amino)-1,8-naphthyridine-3-carboxylic Acid

AU Sriram, Dharmarajan; Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja

CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Journal of Medicinal Chemistry (2007), 50(24), 6232-6239 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 148:78912

IT 960314-15-2P, 7-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic Acid RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(antimycobacterial activities of novel
1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary
amino)-1,8-naphthyridine-3-carboxylic acids)

RN 960314-15-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

- Certain 1H-imidazo[4,5-c]quinolines, 6,7,8,9-tetrahydro-1H-imidazo[4,5-c]quinolines, 1H-imidazo[4,5-c][1,5]naphthyridines, 6,7,8,9-tetrahydro-1H-imidazo[4,5-c][1,5]naphthyridines, and 1H-imidazo[4,5-c]pyridines substituted at the 1- and 2-positions [I; X1 = CH2, NH, O; R1 = cyclopentyl, cyclohexyl, cyclopentyl, etc.; R2 = NH2, Me, CH2(alkyl), etc.; R3 and R4 taken together form (un)substituted fused benzene or pyridine ring], useful as immunomodulators, were prepared E.g., a multi-step synthesis of II, starting from 4-hydroxy-3-nitro[1,5]naphthyridine, was given. Pharmaceutical compns. containing compds. I, methods of making these compds., and methods of use of these compds. as immunomodulators, for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases, are disclosed.
- AN 2007:729369 CAPLUS Full-text
- DN 147:143425
- TI Preparation of substituted imidazoquinolines, imidazonaphthyridines, and imidazopyridines for inducing cytokine biosynthesis
- IN Merrill, Bryon A.; Haraldson, Chad A.; Prince, Ryan B.; Manske, Karl J.;
 Kshirsagar, Tushar A.; Heppner, Philip D.; Dressel, Luke T.; Krepski,
 Larry R.; Rice, Michael J.
- PA 3M Innovative Properties Company, USA
- SO PCT Int. Appl., 209pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | | | | | KIN | D | DATE | | | APPL | ICAT | I NOI | . OP | | D | ATE | |
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| | | | | | | | _ | | | | | | | | | | | |
| ΡI | WO 2007075468 | | | A1 | | 2007 | 0705 | | WO 2 | 006- | JS480 | 017 | | 2 | 0061 | 215 | | |
| | | W: | ΑE, | AG, | AL, | ΑM, | ΑT, | ΑU, | AZ, | ΒA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
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        MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
        RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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        CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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        KG, KZ, MD, RU, TJ, TM
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AU 2006332000
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EP 1968587
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IN 2008DN04982
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ZA 2008005105
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KR 2008077982
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CN 101330916
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MX 2008007864
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NO 2008002757
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                                       US 2005-751392P
                                                           P 20051216
                                       WO 2006-US48017
                                                           W 20061215
MARPAT 147:143425
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OS

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of substituted imidazoquinolines, imidazonaphthyridines, and imidazopyridines for inducing cytokine biosynthesis)

RN 943629-90-1 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline, 2-(ethoxymethyl)-7-(4-phenyl-1-piperidinyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 943629-89-8 CMF C30 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AΒ Substituted pyridines and pyrimidines and compns. contq. them are claimed for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotizing agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. Example compound 4-(4-tert-butylphenyl)-1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)pyridin-2(1H)one was prepared by reacting 4-(4-tert-butylphenyl)pyridin-2(1H)-one and 1bromo-3,4-(ethylenedioxy)benzene. No biol. data is given in the patent.

- AN 2007:701137 CAPLUS Full-text
- DN 147:118146
- TI Preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases
- IN Chen, Ning; Nishimura, Nobuko; Norman, Mark H.; Ognyanov, Vassil I.; Ognyanov, Diana
- PA Amgen, Inc., USA
- SO U.S. Pat. Appl. Publ., 51 pp. CODEN: USXXCO
- DT Patent
- LA English

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| | PATENT NO. | | | | | KIN | D | DATE | | | APPL | ICAT | ION I | . O <i>V</i> | | D | ATE | |
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| PI | US | 2007 | 0149 | 513 | | A1 | _ | 2007 | 0628 | |
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0061
0051 | |
| | WO | 2007 | 0761 | 04 | | A1 | | 2007 | 0705 | , | WO 2 | 006-1 | JS49. | 208 | | 2 | 0061 | 222 |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
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| | | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
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US 2005-753994P P 20051223

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:118146

IT 942947-13-9P, 1-(Quinolin-7-yl)-4-[4-

(trifluoromethyl)phenyl]piperidin-2-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases)

RN 942947-13-9 CAPLUS

CN 2-Piperidinone, 1-(7-quinolinyl)-4-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L7 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AΒ Quadruplex nucleotide sequences and methods for identifying interacting mols. are provided. The quadruplex sequences comprise C-rich or G-rich sequences from human genomic DNA and may conform to the motif ((G3+)N1-7)3G3+ or ((C3+)N1-7)3C3+, where "3+" is three or more nucleotides, C is cytosine, G is guanine, and N is any nucleotide. The method for identifying quinoline or porphyrin derivs. that bind to human nucleic acid containing a quadruplex structure or displace a protein from a nucleic acid comprises: (1) contact the nucleic acid and a compound that binds to the nucleic acid with a test mol.; and (2) detecting the amount of the compound bound or not bound to the nucleic acid. The test mol. is identified as a mol. that binds to the nucleic acid containing the human nucleotide sequence when less of the compound binds to the nucleic acid in the presence of the test mol. than in the absence of the test mol. The invention also identifies 1450 quinolone derivs. that bind to quadruplex DNA or RNA sequences. Identifying modulators of nucleic acid synthesis is achieved in a system containing template nucleic acid, primer oligonucleotides, and DNA polymerase or RNA polymerase.

AN 2007:538440 CAPLUS Full-text

DN 147:3133

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TI Targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivatives
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- IN O'Brien, Sean; Siddiqui-Jain, Adam
- PA Cylene Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 219pp. CODEN: PIXXD2

CODEN: 112

DT Patent

LA English

FAN.CNT 1

| | | ENT 1 | | | | KIN | D | DATE | | | | ICAT | | | | | ATE | |
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| PI | WO | 2007 | 0561 | 13 | | A2
A9 | | 2007
2009 | 0518 | | | 006- | | | | | 0061 | |
| | W: AE, AG, CN, CO, GE, GH, KP, KR, MN, MW, RS, RU, TZ, UA, RW: AT, BE, IS, IT, CF, CG, GM, KE, KG, KZ, US 20090291437 | | CN,
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

IT 783361-00-2

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivs.)

RN 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

PAGE 2-A

L7 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AΒ The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; ₩ = (un)substituted (hetero)aryl which may be monocyclic or fused with a single or multiple ring and optionally containing a heteroatom; R5 = H, OR2, alkyl, alkenyl, etc.] or II [V, A, X, Z, and U are as defined above; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful in screening and for inducing apoptosis. Over forty synthetic examples showed the synthesis of intermediates and target compds. E.g., a multi-step synthesis of the amide III, starting from 2,3,4,5-tetrafluorobenzoic acid, was given. The title compds. were tested in various tests. For example, they were tested in a stop assay, a high throughput, first-pass screen detecting drugs that bind to and stabilize the target G-quadruplex. E.g., the compound III exhibits approx. 400x selectivity for the c-Myc quadruplex relative to pUC

18 plasmid DNA. III was also tested for antitumor activity (biol. data given). The pharmaceutical composition comprising the compds. I or II is disclosed.

- 2006:120542 CAPLUS Full-text ΑN
- 144:212787 DN
- ΤI Preparation of substituted quinobenzoxazine analogs as antitumor agents
- ΙN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terence
- Cylene Pharmaceuticals, Inc., USA PΑ
- SO U.S. Pat. Appl. Publ., 558 pp., Cont.-in-part of U.S. Ser. No. 903,975. CODEN: USXXCO
- DT Patent
- LA English

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PAT | | ENT NO. | | | KIN | | DATE | | I | APF | PLICA | NOITA | NO. | | I | DATE | |
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| | | | | | | | | | | | | | 1-9039 | | | | 20040 | |
| | US | 7141 | 565 | | | В1 | | 2006 | 1128 | | | | 1-8212 | | | | 20040 | |
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2008 | 0421 | | | | 1-9039 | | | | 20040 | 730 |
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| | | | | | | | | | | | 3-532 | | | | 20031 | | | |
| | | | | | | | | | | | | | 1-8212 | | | | 20040 | |
| | ΑIJ | 2005 | 3252 | 10 | | A1 | | 2006 | 0727 | | | | 5-3252 | | | | 20050 | |
| | | _ , , | | _ , | | | | | | | | | 1-9039 | | | | 20040 | |
| | | | | | | | | | | | | | 5-1069 | | | | 20050 | |
| | | | | | | | | | | | | | 5-US26 | | | | 20050 | |
| | CA | 2575 | 547 | | | A1 | | 2006 | 0727 | | | | 5-2575 | | | | 20050 | |
| | | | | | | | | | | | | | 1-9039 | | | | 20040 | |
| | | | | | | | | | | | | | 5-1069 | | | | 20050 | |
| | | | | | | | | | | | | | 5-US26 | | | | 20050 | |
| | WO | 2006 | 0783 | 17 | | A1 | | 2006 | 0727 | V | οV | 2005 | 5-US26 | 977 | | | 20050 | 729 |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BE | в, во | G, BR, | BW, | BY, | BZ | CA, | CH, |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 144:212787; MARPAT 144:212787

IT 783361-00-2P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents) 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

PAGE 1-A



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
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L7 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to a prepn. of quinoline and [1,8]naphthyridine derivs. of formula I [wherein: A is (cyclo)alkylene, alk(en/yn)ylene, or heteroarylene, etc.; Q is N, C(OH), or heteroalkyl, etc.; X is N, CH, C(F), C(OH), or C(NH2), etc.; Y is N, CH, or C(OMe), etc.; Z and L are independently (CH2)1-3; R1 is H, halogen, or NH2, etc.; R2 is H, F, or C1; R3 is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; R4 is a derivative of oxazole, furan, or isoxazole], useful as antimicrobial agents (no biol. data). The invention compds. are effective against a variety of multi-drug resistant bacteria. For instance, [1,8]naphthyridine derivative II was prepared via amination of 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4- oxo-[1,8]naphthyridine-3-carboxylic acid by piperidine derivative III with a yield of 64%.
- AN 2005:570890 CAPLUS Full-text
- DN 143:97344
- TI A preparation of quinoline and [1,8]naphthyridine derivatives, useful as antibiotics
- IN Hubschwerlen, Christian; Specklin, J. L.; Baeschlin, Daniel Kaspar; Sigwalt, Christine; Mueller, Stefan; Cappi, Michael
- PA Morphochem A.-G., Germany
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:97344; MARPAT 143:97344

IT 856677-21-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline and [1,8]naphthyridine derivs. useful as antibiotics)

RN 856677-21-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]ethynyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The present invention relates to quinobenzoxazines analogs I [V = H, halo, AΒ NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μM . The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.
- AN 2005:349002 CAPLUS Full-text
- DN 142:373851
- TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
- IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terence
- PA USA
- SO U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S. Ser. No. 821,243. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 3

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 142:373851
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ΙT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents) 783361-00-2 CAPLUS RN

3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, CN 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

PAGE 2-A

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay

and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 $\mu\text{M}.$ The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

- AN 2004:902098 CAPLUS Full-text
- DN 141:395565
- TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
- IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terrance
- PA Cyclene Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 438 pp.

CODEN: PIXXD2

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:395565

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents) 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

PAGE 1-A



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

$$\begin{array}{c|c} & \text{NC} & \\ & &$$

AB New antiprotozoals active against Philasterides dicentrarchi, the causative agent of scuticociliatosis in farmed turbot and Black Sea bass-bream, have been synthesized and tested. The most active compds. possess a piperazine ring, generally N-bonded to the heterocycle, and are 1,8-naphthyridine, pyridothienopyrimidine, and pyridothienotriazine derivs. The pyridothienotriazine I (R1 = 4-methylpiperidino, R2 = 1-piperazinyl) presents the same activity (LD = 0.8/1.5 mg L-1) as the well-known antiparasitics niclosamide and oxyclozanide.

AN 2003:236103 CAPLUS Full-text

DN 139:197457

TI Piperazine N-substituted naphthyridines, pyridothienopyrimidines and pyridothienotriazines: new antiprotozoals active against Philasterides dicentrarchi

AU Quintela, Jose M.; Peinador, Carlos; Gonzalez, Liliana; Iglesias, Raul; Parama, Anabel; Alvarez, Francisca; Sanmartin, Manuel L.; Riguera, Ricardo

CS Facultad de Ciencias, Departamento de Quimica Fundamental e Industrial, Universidad de La Coruna, La Coruna, 15071, Spain

SO European Journal of Medicinal Chemistry (2003), 38(3), 265-275 CODEN: EJMCA5; ISSN: 0223-5234

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

OS CASREACT 139:197457

IT 583051-27-8P

RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperazinyl-subtituted naphthyridines, pyridothienopyrimidines, and pyridothienotriazines as antiprotozoals active against Philasterides dicentrarchi)

RN 583051-27-8 CAPLUS

CN 1,8-Naphthyridine-3,6-dicarbonitrile, 2-ethoxy-4-phenyl-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

$$\begin{array}{c}
 & \text{Co}_2 X \\
 & \text{R}^3 \\
 & \text{R}^3 \\
\end{array}$$

AB Title compds. (I; X = H, alkyl, aralkyl, acetoxymethyl, pivaloyloxymethyl, heterocyclylalkyl, etc.; R1, R2 = H, alkyl, aralkyl, aminoalkyl, trifluoroalkyl, halo; R3 = H, alkyl, glycosyl, aralkyl, alkanoyl, aminoalkanoyl; R4 = H, alkyl, CF3, Ph, F, etc.), were prepared Thus, diacetoxy-[(S)-8,9-difluoro-5-methyl-6,7-dihydro-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxy]borane, 4-hydroxy-4-trifluoromethylpiperidine, and Et3N were heated in Me2SO at 120° for 20 h to give 80% (S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-4- trifluoromethylpiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine- 2-carboxylic acid. Tested I showed min. inhibitory concns. of 0.1-0.8 μg/mL against S. pneumoniae.

AN 2001:833316 CAPLUS Full-text

DN 135:371757

TI Preparation of chiral 8-piperidinobenzo[i,j]quinolizines as antibacterials.

IN De Souza, Noel John; Patel, Mahesh Vitalbhai; Agarwal, Shiv Kumar; Gupte, Shrikant Vinayak; Upadhyay, Dilip Jatashankar; Bhawsar, Satish Baliram; Jafri, Mohammad Alam; Khorakiwala, Habil F.

PA India

SO PCT Int. Appl., 69 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 8

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 135:371757

IT 373603-57-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of chiral piperidinobenzoquinolizines as antibacterials)

RN 373603-57-7 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid,

9-fluoro-6,7-dihydro-8-(4-hydroxy-4-phenyl-1-piperidinyl)-5-methyl-1-oxo-, (5S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

$$R^2$$
 R^3
 R^3
 R^4
 R^4
 R^4
 R^4

AB Title compds. [I; R = H; 1 of R1-R3 = NR5R6, 1 = halo, and the other = H, halo, NR5R6; R4 = (fluoro)alkyl, carboxyalkyl, cycloalkyl, (di)fluorophenyl, alkoxy, alkylamino; NR5R6 = heterocyclyl] were prepared as bactericides (no data). Thus, 2-amino-4-chloro-3,5-difluorobenzaldehyde (preparation given) was cyclocondensed with O2NCH2CH:NOH and the reduced product condensed with EtOCH:C(CO2Et)2 to give, after cyclization and N-methylation, I (R2 = C1, R3 = F, R4 = Me)(II; R = Et, R1 = F) which was aminated by 1-(3-fluoro-4-

methylphenyl)piperazine to give, after saponification, II [R = H, R1 = 4-(3-fluoro-4-methylphenyl)-1-piperazinyl].

- AN 2001:31500 CAPLUS Full-text
- DN 134:100855
- TI Preparation of 1-oxobenzo[f][1,7]naphthyridine-2-carboxylic acids as bactericides
- IN Desconclois, Jean-Francois; Genevois-Borella, Arielle; Girard, Philippe;
 Kryvenko, Michel; Lavergne, Marc Pierre; Malleron, Jean-Luc; Picaut, Guy;
 Tabart, Michel; Wentzler, Sylvie
- PA Aventis Pharma S.A., Fr.
- SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

| 11114 | PA: | TENT | NO. | | | KIN | D | DATE | | | APE | PLI | CAT | ION 1 | NO. | | Ι | ATE | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 134:100855

IT 318683-88-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

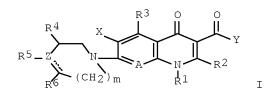
(preparation of 1-oxobenzo[f][1,7]naphthyridine-2-carboxylic acids as bactericides)

RN 318683-88-4 CAPLUS

CN Benzo[f][1,7]naphthyridine-2-carboxylic acid,
7-fluoro-1,4-dihydro-8-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1piperidinyl]-4-methyl-1-oxo- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI



AB Cytokine formation inhibitors contain (I; R1 = C1-6 alkyl; R2, R3, R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = Oh; Z = C, etc.) and their salts for treatment of cytokines-related diseases. The cytokines include IL-1 to IL-15, TNF- α , M-CAF, RANTES, MIP-1, SCF, GM-CSF, G-CSF, M-CSF, erythropoietin, thrombopoietin, interferon, NGF, TGF- β , PDGF, EGF, and LIF.

AN 1999:380682 CAPLUS Full-text

DN 131:68133

TI Cytokine formation inhibitors for treatment of cytokines-related diseases

IN Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 11158071 A 19990615 JP 1997-331575 19971202 JP 3739916 B2 20060125

IT 228548-93-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

JP 1997-331575

19971202

(cytokine formation inhibitors for treatment of cytokines-related diseases)

RN 228548-93-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)-1-[4-(1H-1,2,4-triazol-1-ylmethyl)phenyl]- (CA INDEX NAME)

L7 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Seven analogs of tricyclic rufloxacin were prepd. and their MIC were evaluated against 13 kinds of bacteria. One of the compds. had better antibacterial activity than rufloxacin in vitro.

AN 1999:74954 CAPLUS Full-text

DN 130:332303

TI Synthesis and antibacterial activity of tricyclic fluoroquinolones

AU Xiong, Wennan; Wang, Erhua; Tang, Zhiyue

CS Medicinal and Chemical Engineering Institute, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China

SO Zhongguo Yaowu Huaxue Zazhi (1998), 8(3), 174-177 CODEN: ZYHZEF; ISSN: 1005-0108

PB Zhongguo Yaowu Huaxue Zazhi Bianjibu

DT Journal

LA Chinese

IT 224313-58-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of tricyclic fluoroquinolones)

RN 224313-58-0 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid, 10-[4-(ethoxycarbonyl)-4-phenyl-1-piperidinyl]-9-fluoro-2,3-dihydro-7-oxo-(CA INDEX NAME)

$$HO_2C$$
 F
 N
 S
 N
 Ph
 C
 OEt

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

The title compds. [I; R = H, Br, Cl, F, NO2; R1 = H, Cl, F, R2R3N; R2, R3 = alkyl, hydroxyalkyl; R2R3N = (un)substituted heterocyclyl] (89 compds.) were prepared Thus, CH2(CO2Et)2 underwent Grignard benzoylation with 2,4,5-Cl2FC6H2COCl to give 2,4,5-Cl2FC6H2COCH(CO2Et)2. This was decarboxylated and condensed with HC(OEt)3 to give 2,4,5-Cl2FC6H2COC(:CHOEt)CO2Et which was treated with cyclopropylamine and cyclized to give I (R = F, R1 = Cl). This was treated with piperazine to give II.HCl. On rice plants 0.025% II.HCl gave 80% protection against damage by Xanthomonas oryzae.

AN 1984:611165 CAPLUS Full-text

DN 101:211165

OREF 101:31999a,32002a

TI Microbicidal composition based on quinolonecarboxylic acid

IN Grohe, Klaus; Petersen, Uwe; Kuck, Karl Heinz

PA Bayer A.-G., Fed. Rep. Ger.

SO Ger. Offen., 60 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PA: | TENT N | 10. | | | KIN | D | DATE | | AP | PI | LICATION NO. | | DATE |
|----|------------|----------------------------|-----|-----|-----|-----|------|-------|------|-------|-------------|------------------|----------|----------|
| ΡI | DE | 32485 | 507 | | | A1 | _ | 1984 | 0705 | DE | . 1 |
1982-3248507 | | 19821229 |
| | US | 45634 | 159 | | | А | | 1986 | 0107 | US | 5 1 | 1983-561441 | | 19831214 |
| | | | | | | | | | | DE | : 1 | 1982-3248507 | A | 19821229 |
| | ΕP | 11309 | 1 | | | A1 | | 1984 | 0711 | EP |)] | 1983-112720 | | 19831217 |
| | EP | CP 113091
R: AT, BE, CH | | | | В1 | | 1986 | 0730 | | | | | |
| | | R: | ΑT, | BE, | CH, | DE, | FR | , GB, | ΙΤ, | LI, N | 1Γ | | | |
| | | | | | | | | | | DE | 3 | 1982-3248507 | A | 19821229 |
| | ΑT | 21011 | L | | | Τ | | 1986 | 0815 | AT |]] | 1983-112720 | | 19831217 |
| | | | | | | | | | | DE | : 1 | 1982-3248507 | A | 19821229 |
| | | | | | | | | | | EP | 2 | 1983-112720 | А | 19831217 |
| | AU | 83228 | 363 | | | Α | | 1984 | 0705 | AU | J] | 1983-22863 | | 19831223 |
| | AU | 56374 | 17 | | | В2 | | 1987 | 0723 | | | | | |
| | | | | | | | | | | DE | 3 | 1982-3248507 | А | 19821229 |
| | CA 1232198 | | | | A1 | | 1988 | 0202 | CA | 1 | 1983-444242 | | 19831223 | |

| | | | | DE | 1982-3248507 | Α | 19821229 |
|----|----------|----|----------|----|--------------|---|----------|
| IL | 70540 | A | 19870731 | IL | 1983-70540 | | 19831226 |
| | | | | DE | 1982-3248507 | Α | 19821229 |
| BR | 8307166 | A | 19840807 | BR | 1983-7166 | | 19831227 |
| | | | | DE | 1982-3248507 | Α | 19821229 |
| DK | 8306038 | A | 19840630 | DK | 1983-6038 | | 19831228 |
| | | | | DE | 1982-3248507 | Α | 19821229 |
| ZA | 8309647 | A | 19840829 | ZA | 1983-9647 | | 19831228 |
| | | | | DE | 1982-3248507 | Α | 19821229 |
| HU | 32709 | A2 | 19840928 | HU | 1983-4498 | | 19831228 |
| HU | 194482 | В | 19880229 | | | | |
| | | | | DE | 1982-3248507 | Α | 19821229 |
| JP | 59130802 | A | 19840727 | JΡ | 1983-252506 | | 19831229 |
| | | | | DE | 1982-3248507 | Α | 19821229 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 101:211165; MARPAT 101:211165

IT 93106-79-7P

RN 93106-79-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L7 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

AB Benzoheterocyclic compds. I (R1 = H or alkyl; R2 = H or halogen; R3 = 1-pyrrolidinyl, 1,2,5,6-tetrahydro-1-pyridyl, 1-piperazinyl, etc., n = 1 or 2) are antimicrobial agents. Thus, 9-fluoro-8-(4-hydroxy-1-piperidyl)-5-methyl-6,7-dihydro-1-oxo-1H,5H-benzo[ij] quinalizine-2-carboxylic acid (I; R1 = Me, R2 = 9-F, R3 = 8-(4-hydroxypiperidino), n = 2)(II) [81962-84-7] was prepared by treating 9-fluoro-8-(bromo-5-methyl-6,7-dihydro-1-oxo-1H,5H-benzo[ij]quinalizine-2- carboxylic acid [77483-92-2] with 4-hydroxypiperidine [5382-16-1]. An ointment was prepared by mixing II Na salt [86826-12-2] 2, lanolin 5, beeswax 5, and white vaseline 88 g. Forty-two I were synthesized

and their activities against 41 species of bacteria such as Escherichia coli, Bacillus cereus, Staphylococcus aureus, etc. were shown.

AN 1983:493740 CAPLUS Full-text

DN 99:93740

OREF 99:14385a,14388a

TI Benzoquinalizines and pyrroloquinolines antimicrobial agents

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|----------|
| | | | | | |
| ΡI | JP 58090511 | А | 19830530 | JP 1981-189806 | 19811125 |
| | JP 01041127 | В | 19890904 | | |
| | | | | .TP 1981-189806 | 19811125 |

IT 81962-88-1P

RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as bactericide)

RN 81962-88-1 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-5-methyl-1-oxo-8-[4-(phenylmethyl)-1-piperidinyl]-(CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L7 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN GI

AB Quinolinones I [n = 1, 2; R = H, alkyl; R1 = H, halogen; R2 = (un)substituted pyrrolidino, tetrahydropyrido, piperidino, morpholino, piperazino] were prepared Thus 1.05 g I <math>[n = 2, R = Me, R1 = 9-F, R2 = 8-(4-Ke)]

hydroxypiperidino), II] was obtained by reaction of 7.5 g I (n = 2, R = Me, R1 = 9-F, R2 = 8-Br) with 9.5 g 4-piperidinol. I had a min. inhibitory concentration against Escherichia coli NIHJ JC-2 of 0.39 $\mu g/mL$.

ΑN 1982:406177 CAPLUS Full-text

DN 97:6177

OREF 97:1191a,1194a

Benzoheterocyclic compounds used as antimicrobial medicines

Ishikawa, Hiroshi; Nakagawa, Kazuyuki; Uno, Testuyuki; Kano, Masanobu ΙN

Otsuka Pharmaceutical Co., Ltd. , Japan PA

SO Belg., 83 pp.

CODEN: BEXXAL

Patent DT

LA French

| F AN | .CNT 1
PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------|----------------------|------|----------|-----------------|---|----------|
| ΡI | BE 891046 | A1 | 19820301 | BE 1981-206483 | | 19811109 |
| | | | | JP 1980-158652 | A | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | JP 57081486 | A | 19820521 | JP 1980-158652 | | 19801110 |
| | JP 01007996 | В | 19890210 | | | |
| | JP 57176987 | A | 19821030 | JP 1981-63170 | | 19810424 |
| | JP 02022074 | В | 19900517 | | | |
| | NO 8103726 | А | 19820511 | NO 1981-3726 | | 19811104 |
| | NO 156828 | В | 19870824 | | | |
| | NO 156828 | С | 19871202 | | | |
| | | | | JP 1980-158652 | А | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | AT 8104748 | А | 19920215 | AT 1981-4748 | | 19811105 |
| | AT 395150 | В | 19920925 | | | |
| | | | | JP 1980-158652 | A | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | DK 8104952 | A | 19820511 | DK 1981-4952 | | 19811109 |
| | DK 160940 | В | 19910506 | | | |
| | DK 160940 | С | 19911021 | | | |
| | | | | JP 1980-158652 | A | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | FI 8103526 | A | 19820511 | FI 1981-3526 | | 19811109 |
| | FI 71141 | В | 19860814 | | | |
| | FI 71141 | С | 19861124 | | | |
| | | | | JP 1980-158652 | A | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | SE 8106642 | A | 19820614 | SE 1981-6642 | | 19811109 |
| | SE 448542 | В | 19870302 | | | |
| | SE 448542 | С | 19870611 | | | |
| | | | | JP 1980-158652 | A | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | DE 3144455 | A1 | 19820624 | DE 1981-3144455 | | 19811109 |
| | DE 3144455 | C2 | 19901004 | | | |
| | | | | JP 1980-158652 | А | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | ZA 8107733 | A | 19821027 | ZA 1981-7733 | | 19811109 |
| | | | | JP 1980-158652 | A | 19801110 |
| | SU 1366055 | A3 | 19880107 | SU 1981-3354800 | | 19811109 |
| | | | | JP 1980-158652 | A | 19801110 |
| | | | | JP 1981-63170 | A | 19810424 |
| | DE 3153221 | C2 | 19910704 | DE 1981-3153221 | | 19811109 |
| | | | | JP 1981-63170 | A | 19810424 |
| | FR 2493849 | A1 | 19820514 | FR 1981-21100 | | 19811110 |
| | FR 2493849 | В1 | 19841228 | | | |

| | 2086905
2086905 | A
B | 19820519
19841205 | JP | 1980-158652
1981-63170
1981-33890 | A
A | 19801110
19810424
19811110 |
|-----|--------------------|--------|----------------------|----|---|--------|----------------------------------|
| QD | 2000303 | D | 13011203 | | 1980-158652
1981-63170 | A
A | 19801110
19810424 |
| | 8105075 | А | 19820601 | | 1981-5075 | 71 | 19811110 |
| | 193457
193457 | B
C | 19990701
19991102 | | | | |
| 111 | 193437 | C | 19991102 | JР | 1980-158652 | А | 19801110 |
| | | | | | 1981-63170 | A | 19810424 |
| AU | 8177335 | A | 19820805 | AU | 1981-77335 | | 19811110 |
| AU | 546358 | В2 | 19850829 | | | | |
| | | | | JP | 1980-158652 | Α | 19801110 |
| | | | | JP | 1981-63170 | Α | 19810424 |
| US | 4399134 | A | 19830816 | US | 1981-320027 | | 19811110 |
| | | | | JΡ | 1980-158652 | Α | 19801110 |
| | | | | JΡ | 1981-63170 | Α | 19810424 |
| CA | 1179341 | A1 | 19841211 | | 1981-389769 | | 19811110 |
| | | | | JΡ | 1980-158652 | Α | 19801110 |
| | | | | | 1981-63170 | А | 19810424 |
| CH | 648845 | A5 | 19850415 | | 1981-7200 | | 19811110 |
| | | | | | 1980-158652 | Α | 19801110 |
| | | | | | 1981-63170 | Α | 19810424 |
| SU | 1277896 | A3 | 19861215 | | 1982-3527501 | | 19821227 |
| | | | | | 1980-158652 | Α | 19801110 |
| | | | | | 1981-63170 | Α | 19810424 |
| US | 4552879 | A | 19851112 | | 1983-497914 | | 19830525 |
| | | | | | 1980-158652 | Α | 19801110 |
| | | | | | 1981-63170 | А | 19810424 |
| | | | | | 1981-320027 | А3 | 19811110 |
| | 8902887 | A | 19910315 | ΑT | 1989-2887 | | 19891220 |
| AT | 393383 | В | 19911010 | | | | |
| | | | | | 1980-158652 | A | 19801110 |
| | | | | | 1981-63170 | A | 19810424 |
| | | | | ΑT | 1981-4748 | A | 19811105 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

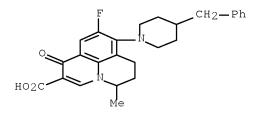
OS CASREACT 97:6177; MARPAT 97:6177

IT 81962-88-1P

RN 81962-88-1 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid,

9-fluoro-6,7-dihydro-5-methyl-1-oxo-8-[4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)



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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10583419.str

chain nodes : ring nodes : 1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 chain bonds : 6-8 8-9 12-15 ring bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-14 \quad 10-11 \quad 11-12 \quad 12-13 \quad 13-14 \quad 15-16 \quad 15-20 \quad 15-16 \quad 15$ 16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24 exact/norm bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 6-8 \quad 8-9 \quad 9-10 \quad 9-14 \quad 10-11 \quad 11-12 \quad 12-13 \quad 12-15 \quad 13-12-13 \quad 12-15 \quad 13-12-13 \quad 12-13 \quad 12-15 \quad 13-12-13 \quad 12-13 \quad 12-15 \quad 13-12-13 \quad 12-13 \quad 12$ $14 \quad 15 - 16 \quad 15 - 20 \quad 16 - 17 \quad 17 - 18 \quad 18 - 19 \quad 18 - 21 \quad 19 - 20 \quad 19 - 24 \quad 21 - 22 \quad 22 - 23 \quad 23 - 24$ isolated ring systems : containing 1 : 9 : 15 :

G1:C, N

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s 18

SAMPLE SEARCH INITIATED 13:39:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1095 TO ITERATE

100.0% PROCESSED 1095 ITERATIONS SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 19915 TO 23885 PROJECTED ANSWERS: 1 TO 80

L9 1 SEA SSS SAM L8

=> s 18 ful

FULL SEARCH INITIATED 13:39:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 21544 TO ITERATE

100.0% PROCESSED 21544 ITERATIONS SEARCH TIME: 00.00.01

26 ANSWERS

L10 26 SEA SSS FUL L8

=> file caplus

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L11 10 L10

=> d abs bib hitstr 1-10

L11 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN GI

- AB Fifty one quinoline-3-carboxylic acids I (R1 = c-Pr, t-Bu, 2,4-F2C6H3; R2R3N = 17 secondary amines) were synthesized from 1,3-dichloro-2-methylbenzene and evaluated for in-vitro antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2). Among the synthesized compds., I (R1 = c-Pr, R2R3N = 1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl) was found to be the most active compound in vitro with a MIC value of 0.39 μ M against MTB. Against MDR-TB, compound I (R1 = c-Pr, R2R3N = 2-carboxy-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl) was found to be the most active with a MIC value of 0.09 μ M. Generally, quinoline-3-carboxylic acids I (R1 = c-Pr) were most active and most were tested for their cytotoxicity in a mammalian Vero cell line.
- AN 2009:254822 CAPLUS Full-text
- DN 150:472531
- TI Synthesis and in-vitro antimycobacterial evaluation of 1-(cyclopropyl/2,4-difluorophenyl/tert-butyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo-7-(substituted secondary amino)quinoline-3-carboxylic acids
- AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Chandraseakaran, Yogesh; Yogeeswari, Perumal; Sriram, Dharmarajan
- CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, India
- SO Archiv der Pharmazie (Weinheim, Germany) (2009), 342(2), 100-112 CODEN: ARPMAS; ISSN: 0365-6233
- PB Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- LA English
- OS CASREACT 150:472531
- IT 1146300-42-6P 1146300-59-5P 1146300-76-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (amino)(oxo)quinolinecarboxylic acids and their antimycobacterial structure-activity relationships)

- RN 1146300-42-6 CAPLUS
- CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)

- RN 1146300-59-5 CAPLUS
- CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(2,4-difluorophenyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)

RN 1146300-76-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(1,1-dimethylethyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN GI

Various 1-(substituted)-1,4-dihydro-6-nitro-4-oxo-7-(sub-secondary amino)-quinoline-3-carboxylic acids were synthesized from 2,4-dichlorobenzoic acid by six step synthesis. The compds. were evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the 48 synthesized compds., compound I was found to be the most active compound in vitro with MIC of 0.08 and 0.16 μM against MTB and MDR-TB, resp. In the in vivo animal model, compound I decreased the bacterial load in lung and spleen tissues with 2.78 and 4.15-log 10 protections, resp., at the dose of 50 mg/kg body weight

AN 2009:5914 CAPLUS Full-text

DN 150:259917

TI Synthesis and antimycobacterial activities of novel 6-nitroquinolone-3-carboxylic acids

AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; Sriram, Dharmarajan; China, Arnab; Nagaraja, Valakunja

CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, 333031, India

SO European Journal of Medicinal Chemistry (2009), 44(1), 345-358 CODEN: EJMCA5; ISSN: 0223-5234

PB Elsevier Masson SAS

DT Journal

LA English

OS CASREACT 150:259917

IT 1119087-07-8P 1119087-58-9P 1119088-09-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of nitroquinolinolonecarboxylic acids via nitration of dichlorobenzoic acid followed by alkylation, cyclization with amines and amination with secondary amines, and their antimycobacterial activity)

RN 1119087-07-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)

RN 1119087-58-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)

RN 1119088-09-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(1,1-dimethylethyl)-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

AB On the basis of our recent findings that 6-aminoquinolones inhibit the HIV Tat-mediated transactivation, we have designed a broad series of derivs. identifying novel potent agents such as the 6-desfluoroquinolones 24 (HM12) and 27 (HM13), which showed pronounced anti-HIV activity in acutely, chronically, and latently HIV-1 infected cell cultures. We demonstrate here that highly potent mols. can be obtained by optimizing the substituent in the various positions of the quinolone nucleus.

AN 2008:1015905 CAPLUS Full-text

DN 149:346718

TI Structure-Activity Relationship Study on Anti-HIV 6-Desfluoroquinolones

AU Tabarrini, Oriana; Massari, Serena; Daelemans, Dirk; Stevens, Miguel; Manfroni, Giuseppe; Sabatini, Stefano; Balzarini, Jan; Cecchetti, Violetta; Pannecouque, Christophe; Fravolini, Arnaldo

CS Dipartimento di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy

SO Journal of Medicinal Chemistry (2008), 51(17), 5454-5458 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 149:346718

IT 1056878-77-3P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and SAR of anti-HIV 6-desfluoroquinolones)

RN 1056878-77-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-amino-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyridinyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 1056879-21-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and SAR of anti-HIV 6-desfluoroquinolones)

RN 1056879-21-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1,4-dihydro-1-methyl-6-nitro-4-oxo-7-[4-(2-pyridinyl)-1-piperidinyl]-, ethyl ester (CA INDEX NAME)

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

Thirty-four newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids were synthesized from 1,2,3,4-tetrafluoro benzene and evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant M. tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase. Among the synthesized compds., $7-(1-(4-\text{methoxybenzyl})-3,4,5,6,7,8-\text{hexahydroisoquinolin-2}(1H)-yl)-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-5-nitro-4-oxoquinoline-3- carboxylic acid (13n) was found to be the most active compound in vitro with MIC of 0.16 and 0.33 <math display="inline">\mu$ M against MTB and MDR-TB, resp. In the in vivo animal model 13n decreased the bacterial load in lung and spleen tissues with 2.54 and 2.92 - log10 protections, resp., at the dose of 50 mg/kg body weight Compound 13n also inhibited the supercoiling activity of mycobacterial DNA gyrase with IC50 of 30.0 μ g/mL.

AN 2008:339599 CAPLUS Full-text

DN 148:444657

TI Synthesis and antimycobacterial evaluation of newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids

AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Banerjee, Debjani; Devakaram, Ruth Vandana; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan

CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Bioorganic & Medicinal Chemistry (2008), 16(5), 2558-2569 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 148:444657

IT 1018937-82-0P 1018937-85-3P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids)

RN 1018937-82-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

RN 1018937-85-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-5-nitro-4-oxo- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

AB Fifty-one 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids were synthesized and evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug-resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 1-tert-butyl-1,4-dihydro-7-(4,4-dimethyloxazolidin-3-yl)-6-nitro-4-oxo-1,8- naphthyridine-3-carboxylic acid (10q) is the most active compound in vitro with an MIC of 0.1 μM against MTB and MDR-TB and was 3 and 455 times more potent than isoniazid against MTB and MDR-TB, resp. In the in vivo animal model 10q decreased the bacterial load in lung and spleen tissues with 2.39 and 3.89-log10protections, resp., at the dose of 50 mg/kg body weight

AN 2007:1215588 CAPLUS Full-text

DN 148:78912

TI Antimycobacterial Activities of Novel
1-(Cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7(substituted secondary amino)-1,8-naphthyridine-3-carboxylic Acid

AU Sriram, Dharmarajan; Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja

CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Journal of Medicinal Chemistry (2007), 50(24), 6232-6239 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 148:78912

ΙT 960314-15-2P, 7-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1cyclopropyl-1,4-dihydro-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic Acid 960314-16-3P, 7-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1,4dihydro-1-(4-fluorophenyl)-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic 960314-17-4P, 1-tert-Butyl-7-[4-(4-chlorophenyl)-4hydroxypiperidin-1-yl]-1,4-dihydro-6-nitro-4-oxo-1,8-naphthyridine-3carboxylic acid RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (antimycobacterial activities of novel 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids) 960314-15-2 CAPLUS RN CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6nitro-4-oxo- (CA INDEX NAME)

RN 960314-16-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)-1,4dihydro-6-nitro-4-oxo- (CA INDEX NAME)

RN 960314-17-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(1,1-dimethylethyl)-1,4dihydro-6-nitro-4-oxo- (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

Substituted pyridines and pyrimidines and compns. contg. them are claimed for AΒ the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotizing agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. Example compound 4-(4-tert-butylphenyl)-1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)pyridin-2(1H)one was prepared by reacting 4-(4-tert-butylphenyl)pyridin-2(1H)-one and 1bromo-3,4-(ethylenedioxy)benzene. No biol. data is given in the patent.

AN 2007:701137 CAPLUS Full-text

DN 147:118146

TI Preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases

PA Amgen, Inc., USA

SO U.S. Pat. Appl. Publ., 51 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

| | PAT | CENT 1 | NO. | | | KIN | D | DATE | | | APPL | ICAT | I NOI | . O <i>V</i> | | D. | ATE | |
|----|-----|--------|-----|-----|-----|-------------|-----|------|-----|-----------------|------|------|-------|--------------|-----|-----|------|-----|
| ΡI | | 2007 | | | | A1 | | 2007 | | | US 2 | | | | | _ | 0061 | |
| | WO | 2007 | | | | A1 20070705 | | | | WO 2006-US49208 | | | | 20061222 | | | | |
| | | W: | ΑE, | ΑG, | ΑL, | ΑM, | ΑT, | ΑU, | ΑZ, | ΒA, | BB, | ВG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KM, | KN, |
| | | | KP, | KR, | KΖ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | ΝI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, | TR, | TT, |
| | | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |
| | | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | ΤG, | BW, | GH, |

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-753994P P 20051223

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:118146

IT 942947-13-9P, 1-(Quinolin-7-yl)-4-[4-

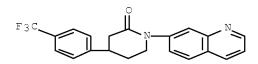
(trifluoromethyl)phenyl]piperidin-2-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases)

RN 942947-13-9 CAPLUS

CN 2-Piperidinone, 1-(7-quinolinyl)-4-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L11 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to a prepn. of quinoline and [1,8]naphthyridine derivs. of formula I [wherein: A is (cyclo)alkylene, alk(en/yn)ylene, or heteroarylene, etc.; Q is N, C(OH), or heteroalkyl, etc.; X is N, CH, C(F), C(OH), or C(NH2), etc.; Y is N, CH, or C(OMe), etc.; Z and L are independently (CH2)1-3; R1 is H, halogen, or NH2, etc.; R2 is H, F, or C1; R3 is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; R4 is a derivative of oxazole, furan, or isoxazole], useful as antimicrobial agents (no biol. data). The invention compds. are effective against a variety of multi-drug resistant bacteria. For instance, [1,8]naphthyridine derivative II was prepared via amination of 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4- oxo-[1,8]naphthyridine-3-carboxylic acid by piperidine derivative III with a yield of 64%.
- AN 2005:570890 CAPLUS Full-text
- DN 143:97344
- TI A preparation of quinoline and [1,8]naphthyridine derivatives, useful as antibiotics
- IN Hubschwerlen, Christian; Specklin, J. L.; Baeschlin, Daniel Kaspar; Sigwalt, Christine; Mueller, Stefan; Cappi, Michael
- PA Morphochem A.-G., Germany
- SO PCT Int. Appl., 65 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| | | | | | |
| PΙ | WO 2005058888 | A2 | 20050630 | WO 2004-EP14500 | 20041220 |

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WO 2005058888
                         А3
                               20050818
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            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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            EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
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                                                                 20040123
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    CN 1898238
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                                         JP 2006-544382
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    ES 2310299
                        T3 20090101
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                       C2 20091027 RU 2006-125510
    RU 2371443
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    IN 2006MN00693
                             20070323
                                         IN 2006-MN693
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    KR 2007067003
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    US 20080027040
                       A1 20080131
                                          US 2007-583419
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PRAI US 2003-530822P
                              20031218
    EP 2004-1506
                        Α
                              20040123
    WO 2004-EP14500
                        W
                              20041220
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    CASREACT 143:97344; MARPAT 143:97344
OS
    856677-21-9P
                  856677-23-1P 856677-37-7P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of quinoline and [1,8] naphthyridine derivs. useful as
       antibiotics)
    856677-21-9 CAPLUS
RN
CN
    3-Quinolinecarboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-
    3-oxazolidinyl]-2-fluorophenyl]ethynyl]-4-hydroxy-1-piperidinyl]-1-
    cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)
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Absolute stereochemistry.

RN 856677-23-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid,
7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2fluorophenyl]ethynyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4dihydro-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

RN 856677-37-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]ethyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(CA INDEX NAME)

Absolute stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN GI

$$\mathbb{R}^{1} \xrightarrow{\mathbb{N}^{\mathbb{N}}} \mathbb{R}^{\mathbb{N}}$$

AB New antiprotozoals active against Philasterides dicentrarchi, the causative agent of scuticociliatosis in farmed turbot and Black Sea bass-bream, have been synthesized and tested. The most active compds. possess a piperazine ring, generally N-bonded to the heterocycle, and are 1,8-naphthyridine, pyridothienopyrimidine, and pyridothienotriazine derivs. The pyridothienotriazine I (R1 = 4-methylpiperidino, R2 = 1-piperazinyl) presents the same activity (LD = 0.8/1.5 mg L-1) as the well-known antiparasitics niclosamide and oxyclozanide.

AN 2003:236103 CAPLUS Full-text

DN 139:197457

TI Piperazine N-substituted naphthyridines, pyridothienopyrimidines and pyridothienotriazines: new antiprotozoals active against Philasterides dicentrarchi

AU Quintela, Jose M.; Peinador, Carlos; Gonzalez, Liliana; Iglesias, Raul; Parama, Anabel; Alvarez, Francisca; Sanmartin, Manuel L.; Riguera, Ricardo

CS Facultad de Ciencias, Departamento de Quimica Fundamental e Industrial, Universidad de La Coruna, La Coruna, 15071, Spain

SO European Journal of Medicinal Chemistry (2003), 38(3), 265-275 CODEN: EJMCA5; ISSN: 0223-5234

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

OS CASREACT 139:197457

IT 583051-27-8P 583051-28-9P

RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperazinyl-subtituted naphthyridines,

pyridothienopyrimidines, and pyridothienotriazines as antiprotozoals active against Philasterides dicentrarchi)

RN 583051-27-8 CAPLUS

CN 1,8-Naphthyridine-3,6-dicarbonitrile, 2-ethoxy-4-phenyl-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 583051-28-9 CAPLUS

CN 1,8-Naphthyridine-3,6-dicarbonitrile,

2-ethoxy-4-phenyl-7-[4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)

OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN GI

AB Cytokine formation inhibitors contain (I; R1 = C1-6 alkyl; R2, R3, R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = Oh; Z = C, etc.) and their salts for treatment of cytokines-related diseases. The cytokines include IL-1 to IL-15, TNF- α , M-CAF, RANTES, MIP-1, SCF, GM-CSF, G-CSF, M-CSF, erythropoietin, thrombopoietin, interferon, NGF, TGF- β , PDGF, EGF, and LIF.

AN 1999:380682 CAPLUS Full-text

DN 131:68133

TI Cytokine formation inhibitors for treatment of cytokines-related diseases

IN Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|------|----------------|------|----------|-----------------|----------|--|
| | | | | | | |
| ΡI | JP 11158071 | A | 19990615 | JP 1997-331575 | 19971202 | |
| | JP 3739916 | В2 | 20060125 | | | |
| PRAI | JP 1997-331575 | | 19971202 | | | |

IT 228548-93-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(cytokine formation inhibitors for treatment of cytokines-related diseases)

RN 228548-93-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)-1-[4-(1H-1,2,4-triazol-1-ylmethyl)phenyl]- (CA INDEX NAME)

L11 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN GI

AB The title compds. [I; R = H, Br, Cl, F, NO2; R1 = H, Cl, F, R2R3N; R2, R3 = alkyl, hydroxyalkyl; R2R3N = (un)substituted heterocyclyl] (89 compds.) were prepared Thus, CH2(CO2Et)2 underwent Grignard benzoylation with 2,4,5-Cl2FC6H2COCl to give 2,4,5-Cl2FC6H2COCH(CO2Et)2. This was decarboxylated and condensed with HC(OEt)3 to give 2,4,5-Cl2FC6H2COC(:CHOEt)CO2Et which was treated with cyclopropylamine and cyclized to give I (R = F, R1 = Cl). This was treated with piperazine to give II.HCl. On rice plants 0.025% II.HCl gave 80% protection against damage by Xanthomonas oryzae.

AN 1984:611165 CAPLUS Full-text

DN 101:211165

OREF 101:31999a,32002a

TI Microbicidal composition based on quinolonecarboxylic acid

IN Grohe, Klaus; Petersen, Uwe; Kuck, Karl Heinz

PA Bayer A.-G., Fed. Rep. Ger.

SO Ger. Offen., 60 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| US | 4563459 | A | 19860107 | US 1983-561441 | 19831214 |
|---------|--------------|-----------|------------|----------------|----------|
| EP | 113091 | A1 | 19840711 | EP 1983-112720 | 19831217 |
| EP | 113091 | В1 | 19860730 | | |
| | R: AT, BE, | CH, DE, F | R, GB, IT, | LI, NL | |
| AT | 21011 | T | 19860815 | AT 1983-112720 | 19831217 |
| AU | 8322863 | A | 19840705 | AU 1983-22863 | 19831223 |
| AU | 563747 | B2 | 19870723 | | |
| CA | 1232198 | A1 | 19880202 | CA 1983-444242 | 19831223 |
| IL | 70540 | A | 19870731 | IL 1983-70540 | 19831226 |
| BR | 8307166 | A | 19840807 | BR 1983-7166 | 19831227 |
| DK | 8306038 | A | 19840630 | DK 1983-6038 | 19831228 |
| ZA | 8309647 | A | 19840829 | ZA 1983-9647 | 19831228 |
| HU | 32709 | A2 | 19840928 | HU 1983-4498 | 19831228 |
| HU | 194482 | В | 19880229 | | |
| JP | 59130802 | A | 19840727 | JP 1983-252506 | 19831229 |
| PRAI DE | 1982-3248507 | A | 19821229 | | |
| EP | 1983-112720 | A | 19831217 | | |

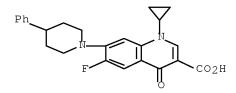
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 101:211165; MARPAT 101:211165

IT 93106-79-7P

RN 93106-79-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)